

1500 Chemical Patents
R & CES

September 22, 1980
Page 15

GERMANY, West

FARB ★ B01 86-131962/21 ★ DE 3440-794-A
Prodn. of steroid 21-phosphate cpds. via new steroid 21-phosphate ester deriva.

HOECHST AG 08.11.84-DE-440794

(18.05.86) C07J-05 C07J-61

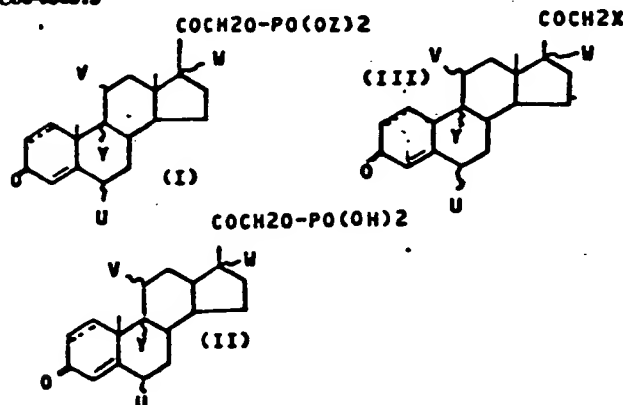
08.11.84 as 440794 (367KB)

Steroid 21-phosphate esters of formula (I) are new. In (I), U = H or Me; V = H, OH, O or halogen; W = H or OH; Y = H or F; Z = 1-8C alkyl opt. alpha-substd. by Ar and/or beta-substd. by a CN, nitrophenyl or 1-4C alkylsulphonyl gp. of by 1-3 Cl and/or Br atoms; Ar = phenyl opt. substd. by Cl, Br, CN or NO₂.

Prodn. of steroid 21-phosphates of formula (II) is effected by reacting a 21-hydroxy or 21-halo steroid of formula (III) with a phosphate ester of formula Q.PO(OZ)₂ (IV) to form (I). hydrolysis (II), and opt. converting the prod. to a salt. X = OH or halogen; Q = halogen or O.N(R₄); R = 1-8C alkyl, or one may be benzyl and/or H.

ADVANTAGE - The process is capable of producing (I) in highly pure form (cf. JA41-12351, US2932657, DE2225658 and GB1010031). (13pp Dwg.No.0/0)

C86-054573



ECKE/ ★ B05 86-125866/20 ★ DE 3440-141-A
Carbonic acid bis-tri:chloromethyl ester - i.e. triphosgene as a phosgene pro:reagent e.g. in the prodn. of isocyanate(s) or peptide coupling reagents

ECKERTH 02.11.84-DE-440141

A41 E16 (07.05.86) C07b-61 C07c-51/60 C07c-68/02 C07c-118/02 C07c-119/02 C07c-125/03

02.11.84 as 440141 (280JP)

Use of carbonic acid bis-trichloromethyl ester as a pro-reagent for phosgene is new.

USE/ADVANTAGE - In chemical synthesis acid is pref. used in the prodn. of diisocyanates (pref. toluylene diisocyanate or 4,4'-diisocyanato-diphenylmethane), monoisocyanates, polycarbonate plastics, chloroformic acid esters, chloroformic acid amides, coupling reagents for peptide chemistry (pref. 2-morpholino-ethyl isocyanide or bis-imidyl-carbonates), carbodilimides, isocyanides, alpha-isocyanocarboxylic acid derivs. carboxylic acid chlorides, diacylamines and chlorocarbonyl isocyanates, as well as in the prodn. of pure metal chlorides. Bis-trichloromethyl carbonate (triphosgene) is a solid (m. pt. 73 deg. C) which can be distilled undecomposed at 203.7 deg. C and which is unaffected by conc. H₂SO₄ or cold NaOH solution. Because of its low volatility, the cpd. is simpler to use than the volatile and toxic phosgene, and reacts in stoichiometric amounts (no excess required) to give generally high product yields. (17pp Dwg.No.0/0)

C86-053679

BAKH/ ★ B04 86-119935/19 ★ DE 3439-914-A
Hair tonic for oral admin. comprising rice husk extract

BAKHTIARIHAFTLANGI 29.10.84-DE-439914

DE (20.04.86) A61k-07 C04 A61k-31 44 A61k-35/78

29.10.84 as 439914 (347N3)

Orally administrable compns. for stimulating hair growth and inhibiting hair loss comprise an extract of rice "silver skins" (i.e. husk and seed husks plus aleurone layer).

SCHD ★ B01 86-137952/22 ★ DE 3438-506
11-Beta-substd. 19-nor:steroid-9-ene prepn. by reacting corres alpha-epoxide with organo copper complex to give prod. used i synthetic aid for pharmaceutical steroid deriva.

SCHERING AG 18.10.84-DE-438500

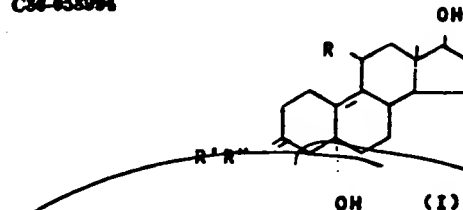
(26.05.86) C07J-01 C07J-21

18.10.84 as 438500 (047JP)

Prepn. of 11-beta-substd. 19-norsteroid-9-enes of formula (I) comprises reaction of corresp. 9(11)-ene 5-alpha, 10-alpha-epoxid with an organocopper complex which is formed in situ by addn. Li metal to a suspension of Cu(I)CN and a halide RX, in an organic solvent at temps. -70 to +25 deg. In (I), R is an organic g attached to position 11 by a C to C bond; and R' is the rest of a ket gp., esp. ethylenedioxy or 2,2-dimethyltrimethylene-dioxy, or thioetheral, oxime or methyloxime gp.; and X is Cl, Br or I.

USE - The process is a valuable synthetic aid for pharmaceutical steroid deriva.. (3pp Dwg.No.0/0)

C86-053994



GLAX ★ B03 81-27745D/16 = DE 3037-104

Stable crystalline bis-hydrochloride of coltazidime - (6R,7R)-7-(Z)-2-amino:thiazole-4-yl carboxy prop-2-oxo-imino-acetamyl pyridinium-methyl ceph-3-em-4-carboxylate bis-hydroxy-chloride

GLAXO GROUP LTD 02.10.79-GB-034203

(30.04.86) *BE-885488-A A61k-31/54 C07d-501/46

01.10.80 as 037104 (047CJ)

(6R,7R)-7-(Z)-2-(2-aminothiazole-4-yl)-2-(2-carboxypropoxy imin acetyl amino)-3-(pyridinium-1-yl-methyl) ceph-3-em-4-carboxylate dihydrochloride (I) is obtd. in a new crystalline form, having w defined Debye-Scherrer X-ray diffraction patterns (using CoK α radiation), with characteristic d-spacing and relative intensities. Prepn. of cpd. (I) comprises crystallisation of the dihydrochloride from acetone/HCOOH, methylated spirit, or MeOH/PrOH/isopH mixts.

ADVANTAGE - Cpd. (I) is a highly active antibiotic which resistant to beta-lactamase. (7pp)

CHEN ★ B05 78-33572A/22 = DE 2300-808-
Synthetic analogues of prostaglandin cpds. with 17-aza group fo controlling gastric secretion and dispersing aggregated bloo platelets

CHINOIN GYOGYSZER 20.01.78-BE-863116 (10.01.78-DE-800804)

C03 (07.06.86) *BE-863116-A A61k-31/35 C07c-177

10.01.78 as 800805 (922KB)

Novel racemic or optically active 17-aza-PGF (2 alpha) derivs. are c formula (I) (where R₁ is H or (trihalo) (1-4C) alkanoyl opt. substd benzoyl, lower alkoxy carbonyl or trihalo-alkoxy carbonyl opt. substd. phenoxy carbonyl or benzyl oxy carbonyl, R₂ is H or 1-4 alkyl and Q is H, 1-4C alkyl or a non-toxic cation). One of 3 claime prepn. methods involves reacting (II) (where R₄ is H or a protectiv gp. generally used in prostaglandin chemistry) with a phosphoran derived from a triphenyl-(4-carboxybutyl) phosphonium salt.

USE/ADVANTAGE - (I) have a no. of therapeutic uses includin smooth muscle stimulating activity (e.g. to induce labour, abort foetuses, control menstruation) and increasing lipolysis i epididymal fatty tissue (more than natural catecholamine). (11pp)

